

PCT

REQUEST

The undersigned requests that the present international application be processed according to the Patent Cooperation Treaty

EPO - DES

(67)

For receiving Office use only

PCT/EP 00 / 03848
 International Application N

 International Filing Date
28 APR 2000 (28.04.00)

 EUROPEAN PATENT OFFICE
 PCT INTERNATIONAL APPLICATION
 Name of receiving Office and "PCT Inter application"

 Applicant's or agent's file reference
 (if desired) (12 characters maximum) **PU3650/WO**
Box No. I TITLE OF INVENTION

Chemical Compounds

Box No. II APPLICANT

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below).

Glaxo Group Limited
 Glaxo Wellcome House
 Berkeley Avenue
 Greenford, Middlesex, UB6 0NN
 GB

☐ This person is also inventor.

Telephone No. 020 8966 8000

Facsimile No. 020 8966 8838

Teleprinter No. 25456

State (i.e. country) of nationality:

GB

State (i.e. country) of residence:

GB

This person is applicant for the purposes of:



all designated States



all designated States except the United States of America



the United States of America only



the States indicated in the Supplemental Box

Box No. III FURTHER APPLICANTS AND/OR (FURTHER) INVENTORS

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

BIGHAM, Eric Cleveland
 Glaxo Wellcome Inc
 Five Moore Drive
 Research Triangle Park
 North Carolina 27709
 US

This person is:



applicant only



applicant and inventor



inventor only (If this check-box is marked, do not fill in below.)

State (i.e. country) of nationality:

US

State (i.e. country) of residence:

US

This person is applicant for the purposes of:



all designated States



all designated States except the United States of America



the United States of America only



the States indicated in the Supplemental Box

☒ Further applicants and/or (further) inventors are indicated on a continuation sheet.

Box No. IV AGENT OR COMMON REPRESENTATIVE; OR ADDRESS FOR CORRESPONDENCE

The person identified below is hereby/has been appointed to act on behalf of the applicant(s) before the competent International Authorities as:



agent



common representative

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country).

LEAROYD, Stephanie A
 Glaxo Wellcome plc
 Glaxo Wellcome House, Berkeley Avenue
 Greenford, Middlesex
 UB6 0NN
 GB

Telephone No.:

020 8966 8000

Facsimile No.:

020 8966 8838

Teleprinter No.:

25456

☐ Mark this check-box where no agent or common representative is indicated a special address to which correspondence should be sent

EXPRESS MAIL LABEL
NO. EL395943218US

above is used instead to

PCT/EP 00 / 03848

Sheet No2...

Continuation of Box No. III FURTHER APPLICANTS AND/OR (FURTHER) INVENTORS

If none of the following sub-boxes is used, this sheet is not to be included in the request.

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

BISHOP, Michael Joseph
Glaxo Wellcome Inc
Five Moore Drive
Research Triangle Park
North Carolina 27709
US

This person is:

- ☐ applicant only
☒ applicant and inventor
☐ inventor only (If this check-box is marked, do not fill in below.)

State (i.e. country) of nationality:

US

State (i.e. country) of residence:

US

This person is applicant for the purposes of:

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DREWRY, David Harold
Glaxo Wellcome Inc
Five Moore Drive
Research Triangle Park
North Carolina 27709
US

This person is:

- ☐ applicant only
☒ applicant and inventor
☐ inventor only (If this check-box is marked, do not fill in below.)

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GARRISON, Deanna Trojan
Glaxo Wellcome Inc
Five Moore Drive
Research Triangle Park
North Carolina 27709
US

This person is:

- ☐ applicant only
☒ applicant and inventor
☐ inventor only (If this check-box is marked, do not fill in below.)

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HODSON, Stephen Joseph
Glaxo Wellcome Inc
Five Moore Drive
Research Triangle Park
North Carolina 27709
US

This person is:

- ☐ applicant only
☒ applicant and inventor
☐ inventor only (If this check-box is marked, do not fill in below.)

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State (i.e. country) of residence:

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Ro/EP

Ro/EP

Ro/EP

PCT/EP 00 / 03848

Sheet No. ...3....

Continuation of Box No. III FURTHER APPLICANTS AND/OR (FURTHER) INVENTORS

If none of the following sub-boxes is used, this sheet is not to be included in the request.

Name and address: (Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)

NAVAS III, Frank
Glaxo Wellcome Inc
Five Moore Drive
Research Triangle Park
North Carolina 27709
US

This person is:

- ☐ applicant only
☒ applicant and inventor
☐ inventor only (If this check-box is marked, do not fill in below.)

State (i.e. country) of nationality:

US

State (i.e. country) of residence:

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PEAKE, Jason D.
Glaxo Wellcome Inc
Five Moore Drive
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North Carolina 27709
US

This person is:

- ☐ applicant only
☒ applicant and inventor
☐ inventor only (If this check-box is marked, do not fill in below.)

State (i.e. country) of nationality:

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State (i.e. country) of residence:

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all designated States except the United States of America

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the United States of America only

☐

the States indicated in the Supplemental Box

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☐ applicant and inventor
☐ inventor only (If this check-box is marked, do not fill in below.)

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☐ inventor only (If this check-box is marked, do not fill in below.)

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the States indicated in the Supplemental Box

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Sheet No4.....

Box No. V DESIGNATION OF STATES

The following designations are hereby made under Rule 4.9(a) (mark the applicable check-boxes: at least one must be marked):

Regional Patent ☒ SL SIERRA LEONE ☒ TZ UNITED REPUBLIC OF TANZANIA

- ☒ AP ARIPO Patent: GH Ghana, GM Gambia, KE Kenya, LS Lesotho, MW Malawi, SD Sudan, SZ Swaziland, UG Uganda, ZW Zimbabwe, and any other State which is a Contracting State of the Harare Protocol and of the PCT
- ☒ EA Eurasian Patent: AM Armenia, AZ Azerbaijan, BY Belarus, KG Kyrgyzstan, KZ Kazakstan, MD Republic of Moldova, RU Russian Federation, TJ Tajikistan, TM Turkmenistan, and any other State which is a Contracting State of the Eurasian Patent Convention and of the PCT
- ☒ EP European Patent: AT Austria, BE Belgium, CH and LI Switzerland and Liechtenstein, CY Cyprus, DE Germany, DK Denmark, ES Spain, FI Finland, FR France, GB United Kingdom, GR Greece, IE Ireland, IT Italy, LU Luxembourg, MC Monaco, NL Netherlands, PT Portugal, SE Sweden, and any other State which is a Contracting State of the European Patent Convention and of the PCT
- ☒ OA OAPI Patent: BF Burkina Faso, BJ Benin, CF Central African Republic, CG Congo, CI Côte d'Ivoire, CM Cameroon, GA Gabon, GN Guinea, GW Guinea Bissau, ML Mali, MR Mauritania, NE Niger, SN Senegal, TD Chad, TG Togo, and any other State which is a member State of OAPI and a Contracting State of the PCT (if other kind of protection or treatment desired, specify on dotted line).....

National Patent (if other kind of protection or treatment desired, specify on dotted line):

- | | |
|--|--|
| <input checked="" type="checkbox"/> AE United Arab Emirates | <input checked="" type="checkbox"/> LR Liberia |
| <input checked="" type="checkbox"/> AL Albania | <input checked="" type="checkbox"/> LS Lesotho |
| <input checked="" type="checkbox"/> AM Armenia | <input checked="" type="checkbox"/> LT Lithuania |
| <input checked="" type="checkbox"/> AT Austria | <input checked="" type="checkbox"/> LU Luxembourg |
| <input checked="" type="checkbox"/> AU Australia | <input checked="" type="checkbox"/> LV Latvia |
| <input checked="" type="checkbox"/> AZ Azerbaijan | <input checked="" type="checkbox"/> MD Republic of Moldova |
| <input checked="" type="checkbox"/> BA Bosnia and Herzegovina | <input checked="" type="checkbox"/> MG Madagascar |
| <input checked="" type="checkbox"/> BB Barbados | <input checked="" type="checkbox"/> MK The former Yugoslav Republic of Macedonia |
| <input checked="" type="checkbox"/> BG Bulgaria | |
| <input checked="" type="checkbox"/> BR Brazil | <input checked="" type="checkbox"/> MN Mongolia |
| <input checked="" type="checkbox"/> BY Belarus | <input checked="" type="checkbox"/> MW Malawi |
| <input checked="" type="checkbox"/> CA Canada | <input checked="" type="checkbox"/> MX Mexico |
| <input checked="" type="checkbox"/> CH and LI Switzerland and Liechtenstein | <input checked="" type="checkbox"/> NO Norway |
| <input checked="" type="checkbox"/> CN China | <input checked="" type="checkbox"/> NZ New Zealand |
| <input checked="" type="checkbox"/> CU Cuba | <input checked="" type="checkbox"/> PL Poland |
| <input checked="" type="checkbox"/> CZ Czech Republic | <input checked="" type="checkbox"/> PT Portugal |
| <input checked="" type="checkbox"/> DE Germany | <input checked="" type="checkbox"/> RO Romania |
| <input checked="" type="checkbox"/> DK Denmark | <input checked="" type="checkbox"/> RU Russian Federation |
| <input checked="" type="checkbox"/> EE Estonia | <input checked="" type="checkbox"/> SD Sudan |
| <input checked="" type="checkbox"/> ES Spain | <input checked="" type="checkbox"/> SE Sweden |
| <input checked="" type="checkbox"/> FI Finland | <input checked="" type="checkbox"/> SG Singapore |
| <input checked="" type="checkbox"/> GB United Kingdom | <input checked="" type="checkbox"/> SI Slovenia |
| <input checked="" type="checkbox"/> GD Grenada | <input checked="" type="checkbox"/> SK Slovakia |
| <input checked="" type="checkbox"/> GE Georgia | <input checked="" type="checkbox"/> SL Sierra Leone |
| <input checked="" type="checkbox"/> GH Ghana | <input checked="" type="checkbox"/> TJ Tajikistan |
| <input checked="" type="checkbox"/> GM Gambia | <input checked="" type="checkbox"/> TM Turkmenistan |
| <input checked="" type="checkbox"/> HR Croatia | <input checked="" type="checkbox"/> TR Turkey |
| <input checked="" type="checkbox"/> HU Hungary | <input checked="" type="checkbox"/> TT Trinidad and Tobago |
| <input checked="" type="checkbox"/> ID Indonesia | <input checked="" type="checkbox"/> UA Ukraine |
| <input checked="" type="checkbox"/> IL Israel | <input checked="" type="checkbox"/> UG Uganda |
| <input checked="" type="checkbox"/> IN India | <input checked="" type="checkbox"/> US United States of America |
| <input checked="" type="checkbox"/> IS Iceland | <input checked="" type="checkbox"/> UZ Uzbekistan |
| <input checked="" type="checkbox"/> JP Japan | <input checked="" type="checkbox"/> VN Viet Nam |
| <input checked="" type="checkbox"/> KE Kenya | <input checked="" type="checkbox"/> YU Yugoslavia |
| <input checked="" type="checkbox"/> KG Kyrgyzstan | <input checked="" type="checkbox"/> ZA South Africa |
| <input checked="" type="checkbox"/> KP Democratic People's Republic of Korea | <input checked="" type="checkbox"/> ZW Zimbabwe |
| | Check-boxes reserved for designating States which have become party to the PCT after issuance of this sheet. |
| <input checked="" type="checkbox"/> KR Republic of Korea | <input checked="" type="checkbox"/> AG Antigua & Barbuda |
| <input checked="" type="checkbox"/> KZ Kazakstan | <input checked="" type="checkbox"/> CR Costa Rica |
| | <input checked="" type="checkbox"/> DM Dominica |
| <input checked="" type="checkbox"/> LC Saint Lucia | <input checked="" type="checkbox"/> DZ Algeria |
| <input checked="" type="checkbox"/> LK Sri Lanka | <input checked="" type="checkbox"/> MA Morocco |
| | <input checked="" type="checkbox"/> TZ Tanzania |

Precautionary Designation Statement: In addition to the designations made above, the applicant also makes under Rule 4.9(b) all other designations which would be permitted under the PCT except any designation(s) indicated in the Supplemental Box as being excluded from the scope of this statement. The applicant declares that those additional designations are subject to confirmation and that any designation which is not confirmed before the expiration of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit. (Confirmation of a designation consists of the filing of a notice specifying that designation and the payment of the designation and confirmation fees. Confirmation must reach the receiving Office within the 15-month time limit.)

PCT/EP 00 / 03848

Sheet No ..5...

Box No. VI PRIORITY CLAIM

☐ Further priority claims are indicated in the Supplemental Box
 Where earlier application is

Filing Date of Earlier Application (day/month/year)	Number of earlier application	national application: country	regional application: regional Office	international application: receiving Office
item (1) 30 April 1999 (30.04.99)	9910110.7	GB		
item (2)				
item (3)				

☐ The receiving Office is requested to prepare and transmit to the International Bureau a certified copy of the earlier application(s) (only if the earlier application was filed with the Office which for the purposes of the present international application is the receiving Office) identified above as item(s):
 * Where the earlier application is an ARIPO application, it is mandatory to indicate in the Supplemental Box at least one country party to the Paris Convention for the Protection of Industrial Property for which that earlier application was filed (Rule 4.10(b)(ii)). See Supplemental Box.

Box No. VII INTERNATIONAL SEARCHING AUTHORITY

Choice of International Searching Authority (ISA)
 If two or more International Searching Authorities are competent to carry out the international search, indicate the authority chosen; the two-letter code may be used:
 ISA/

Request to use results of earlier search; reference to that search (if an earlier search has been carried out by or requested from the International Searching Authority):
 Date (day/month/year) Number Country (or regional office)

Box No. VIII CHECK LIST; LANGUAGE OF FILING

This international application contains the following number of sheets:

request 5
 description (excluding sequence listing part) 63
 claims 7
 abstract 1
 drawings -
 sequence listing part of description
 Total number of sheets 76

This international application is accompanied by the item(s) marked below:

- ☒ 1. Fee calculation sheet
- ☒ 2. Separate signed power of attorney (x2)
- ☐ 3. Copy of general power of attorney; reference number, if any:
- ☐ 4. Statement explaining lack of signature
- ☒ 5. Priority document(s) identified in Box No. VI as item(s): (1)
- ☐ 6. Translation of international application into (language):
- ☐ 7. Separate indications concerning deposited microorganism or other biological material
- ☐ 8. Nucleotide and/or amino acid sequence listing in computer readable form
- ☐ 9. Other (specify):

Figure of the drawings which should accompany the abstract:

Language of filing of the international application:

English

Box No. IX SIGNATURE OF APPLICANT OR AGENT

Next to each signature, indicate the name of the person signing and the capacity in which the person signs (if such capacity is not obvious from reading the request).

Stephanie A Learoyd

Stephanie A Learoyd
 Agent for the Applicants

1. Date of actual receipt of the purported international application		For receiving Office use only 28 APR 2000 (28.04.00)		2. Drawings <input type="checkbox"/> received: <input type="checkbox"/> not received:	
3. Corrected date of actual receipt due to later but timely received papers or drawings completing the purported international application:					
4. Date of timely receipt of the required corrections under PCT Article 11(2):					
5. International Searching Authority specified by the applicant: ISA/		6. <input type="checkbox"/> Transmittal of search copy delayed until search fee is paid			

Date of receipt of the record copy by the International Bureau

For International Bureau use only

Form PCT/RO/101 (last sheet) (July 1998)

See Notes to the request form

INTERNATIONAL SEARCH REPORT

Int. Patent Application No.

PCT/EP 00/03848

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D233/24 A61K31/417 A61P43/00 C07D409/12 C07D403/12
C07D401/12 C07D405/12 C07D413/12 C07D417/12

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WALFRED S. SAARI ET AL.: "alpha-Adrenergic activities of some substituted 2-(aminoethyl)imidazolines" JOURNAL OF MEDICINAL CHEMISTRY., vol. 26, no. 12, - 1983 pages 1769-1772, XP002147365 AMERICAN CHEMICAL SOCIETY, WASHINGTON., US ISSN: 0022-2623 cited in the application * complete document *	1, 19, 20, 22
A	US 3 754 002 A (RICHARD E. BROWN) 21 August 1973 (1973-08-21) * complete document *	1, 19, 20, 22
A	US 4 506 074 A (MERCK & CO., INC.) 19 March 1985 (1985-03-19) column 1 -column 3	1, 19, 20, 22

☒ Further documents are listed in the continuation of part C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is /or considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *S* document member of the same patent family

Date of the actual completion of the international search

14 September 2000

Date of mailing of the international search report

10/10/2000

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentstr. 2
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Fax: (+31-70) 340-3016

Authorized officer

Van Bijlen, H

INTERNATIONAL SEARCH REPORT

Int. Patent Application No.
PCT/EP 00/03848

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 0 887 346 A (F. HOFFMANN-LA ROCHE AG) 30 December 1998 (1998-12-30) claims 1,17	1,19,20, 22

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 00/03848

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
US 3754002	A	21-08-1973	NONE	
US 4506074	A	19-03-1985	ZA 8308259 A	26-06-1985
EP 887346	A	30-12-1998	AU 713068 B	25-11-1999
			AU 7310298 A	24-12-1998
			BR 9802201 A	25-05-1999
			CA 2240136 A	23-12-1998
			CN 1203228 A	30-12-1998
			CZ 9801974 A	13-01-1999
			HR 980353 A	30-04-1999
			HU 9801357 A	28-09-1999
			JP 2977536 B	15-11-1999
			JP 11071353 A	16-03-1999
			NO 982884 A	28-12-1998
			NZ 330506 A	28-10-1999
			PL 326959 A	04-01-1999
			US 5952362 A	14-09-1999
			ZA 9805266 A	06-01-1999

INTERNATIONAL SEARCH REPORT

Int. Patent Application No.

PCT/EP 00/03848

A. CLASSIFICATION OF SUBJECT MATTER

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 C07D401/12 C07D405/12 C07D413/12 C07D417/12

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

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Patent family members are listed in annex.

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- *E* earlier document but published on or after the international filing date
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- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *Z* document member of the same patent family

Date of the actual completion of the international search

14 September 2000

Date of mailing of the international search report

10/10/2000

Name and mailing address of the ISA

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 NL - 2280 HV Rijswijk
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 Fax: (+31-70) 340-3016

Authorized officer

Van Bijlen, H

INTERNATIONAL SEARCH REPORT

Serial Application No.

PCT/EP 00/03848

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
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INTERNATIONAL SEARCH REPORT

Information on patent family members

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PCI/EP 00/03848

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
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US 4506074	A	19-03-1985	ZA 8308259 A	26-06-1985
EP 887346	A	30-12-1998	AU 713068 B	25-11-1999
			AU 7310298 A	24-12-1998
			BR 9802201 A	25-05-1999
			CA 2240136 A	23-12-1998
			CN 1203228 A	30-12-1998
			CZ 9801974 A	13-01-1999
			HR 980353 A	30-04-1999
			HU 9801357 A	28-09-1999
			JP 2977536 B	15-11-1999
			JP 11071353 A	16-03-1999
			NO 982884 A	28-12-1998
			NZ 330506 A	28-10-1999
			PL 326959 A	04-01-1999
			US 5952362 A	14-09-1999
			ZA 9805266 A	06-01-1999

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference PU3650/WO		FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/EP00/03848	International filing date (day/month/year) 28/04/2000	Priority date (day/month/year) 30/04/1999	
International Patent Classification (IPC) or national classification and IPC C07D233/24			
Applicant GLAXO GROUP LIMITED et al.			

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.


2. This REPORT consists of a total of 6 sheets, including this cover sheet.

- ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☒ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand 21/11/2000	Date of completion of this report 26.02.2001
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized officer vanVoorst tot Voorst, M Telephone No. +49 89 2399 8280



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**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/EP00/03848

I. Basis of the report

1. This report has been drawn on the basis of *(substitute sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to the report since they do not contain amendments (Rules 70.16 and 70.17).):*

Description, pages:

1-63 as originally filed

Claims, No.:

1-29 as originally filed

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
☐ the language of publication of the international application (under Rule 48.3(b)).
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority in written form.
☐ furnished subsequently to this Authority in computer readable form.
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
☐ the claims, Nos.:
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

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(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

- ☐ the entire international application.
- ☒ claims Nos. 15-17.

because:

- ☒ the said international application, or the said claims Nos. 15-17 relate to the following subject matter which does not require an international preliminary examination (*specify*):
see separate sheet
- ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
- ☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
- ☐ no international search report has been established for the said claims Nos. .

2. A meaningful international preliminary examination report cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

- ☐ the written form has not been furnished or does not comply with the standard.
- ☐ the computer readable form has not been furnished or does not comply with the standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims 1-29
	No: Claims
Inventive step (IS)	Yes: Claims 1-29
	No: Claims
Industrial applicability (IA)	Yes: Claims 1-14, 18-29

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No: Claims

2. Citations and explanations
see separate sheet

VII. Certain defects in the international application

The following defects in the form or contents of the international application have been noted:
see separate sheet

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AD SECTION III:

1. For the assessment of the present claims 15-17 on the question whether they are industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment. Claims 15-17 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(i) PCT).

AD SECTION V:

1. The following documents cited in the International Search Report were considered;
D1: WOLFRED S. SAARI ET AL.: 'alpha-Adrenergic activities of some substituted 2-(aminoethyl)imidazolines' JOURNAL OF MEDICINAL CHEMISTRY., vol. 26, no. 12, - 1983 pages 1769-1772, cited in the application
D2: US 3 754 002 A (RICHARD E. BROWN), cited in the application
D3: US 4 506 074 A (MERCK & CO., INC.)
D4: EP 0 887 346 A (F. HOFFMANN-LA ROCHE AG), cited in the application.
2. Having regard to this prior art the subject-matter claimed appears to be novel, the compounds disclosed in D1 differ from the present compounds on account of the meaning for X, the compounds disclosed in D2 differ on account of the COOR-group, the compounds of D3 are pyridyl derivatives and the compounds disclosed in D4 differ in the meanings for A and Y.
Thus the subject-matter according to claims 1-29 appears to meet the requirements of Article 33(2) PCT.
3. Closest prior art comprises the compounds disclosed in D1 to D4. D1 describes the profiles of monoaryl derivatives, these being either alpha-1/alpha-2-agonists

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or mixed alpha-1-agonists/alpha-2-antagonists, D2 discloses compounds which stimulate the alpha-adrenergic nervous system of mammals and can therefore be used to increase blood pressure, the compounds of D3 possess alpha-2-antagonistic/alpha-1-agonistic properties being useful as antidepressants and nasal decongestants and D4 discloses compound having alpha-1A-agonistic activities, which possess similar activities as the present compounds.

The problem to be solved was to provide certain compounds which are alpha-1A agonists, which can be used in the treatment of urinary incontinence, nasal congestion, priapism, depression, anxiety, dementia, senility, Alzheimer's, deficiencies in attentiveness and cognition and eating disorders.

Having regard to the prior art mentioned above it is considered that this problem has been solved by the compounds of the present application in an inventive manner, since the skilled person could not have expected that the present phenyl-aminoalkyl-2-imidazoline derivatives would show this activity as demonstrated by the Applicant on pages 62/63 of the description.

Thus the subject-matter according to claims 1-29 appears to meet the requirements of Article 33(3) PCT.

4. No objections with regard to Article 33(4) PCT arise for claims 1-14 and 18-29, however see Section III above.

AD SECTION VII:

1. The units "psi" employed on page 10, 17, 18 and 38 are not additionally expressed in terms of the units stipulated by Rule 10.1(a) PCT.